5

10

15

20

19

What is claimed is:

1. A 6-mercapto-cyclodextrin derivative having the general formula I

CH₂OH CH₂—S—R—X

H OH H H OH
OH OH
OH OH
OH OH

wherein m is 0-7 and n is 1-8 and m+n=7 or 8;

R is (C_{1-6}) alkylene, optionally substituted with 1-3 OH groups, or $(CH_2)_o$ -phenylene- $(CH_2)_p$;

o and p are independently 0-4;

X is COOH, CONHR₁, NHCOR₂, SO₂OH, PO(OH)₂, O(CH₂—CH₂—O)_q—H, OH or tetrazol-5-yl;

 R_1 is H or (C_{1-3}) alkyl;

R₂ is carboxyphenyl;

q is 1-3;

or pharmaceutically acceptable salts thereof; with the exclusion of

 $\hbox{6-per-deoxy-6-per-(2-hydroxyethylthio)-β-cyclodextrin;}\\$

6-mono-deoxy-6-mono-(2-hydroxyethylthio)- β -cyclodextrin;

 $\hbox{6-per-deoxy-6-per-(2-hydroxyethylthio)-}\gamma\hbox{-cyclodextrin};$

6-per-deoxy-6-per-(carboxymethylthio)-β-cyclodextrin;

6-mono-deoxy-6-mono-(carboxymethylthio)-β-cyclo-dextrin;

6A,6B-dideoxy-6A,6B-bis((o-carboxyphenyl)thio)- β -cy-clodextrin;

6A,6B-dideoxy-6A,6B-bis(carboxymethylthiol)-β-cyclodextrin and 6-per-deoxy-6-per-(2,3-dihydroxypropylthio)-β-cyclodextrin.

- 2. The 6-mercapto-cyclodextrin derivative according to $_{45}$ claim 1, wherein R, m and n are defined as in claim 1 and X is COOH or $_{20}$ OH; or a pharmaceutically acceptable salt thereof.
- 3. The 6-mercapto-cyclodextrin derivative according to claim 1, wherein m is 0; n is 8; R is (C_{1-6}) alkylene or $(CH_2)_p$ -phenylene- $(CH_2)_p$; o and p are independently 0-4; and X is COOH or SO_2OH ; or a pharmaceutically acceptable salt thereof.
- **4.** A 6-mercapto-cyclodextrin derivative according to 55 claim **1** selected from the group consisting of:

6-per-deoxy-6-per-(2-carboxyethyl)thio-γ-cyclodextrin;

6-per-deoxy-6-per-(3-carboxypropyl)thio-γ-cyclodextrin;

6-per-deoxy-6-per-(4-carboxyphenyl)thio-γ-cyclodextrin; 60

6-per-deoxy-6-per-(4-carboxyphenylmethyl)thio-γ-cyclodextrin:

6-per-deoxy-6-per-(2-carboxypropyl)thio-γ-cyclodextrin; and

6-per-deoxy-6-per-(2-sulfoethyl)thio-γ-cyclodextrin; or a pharmaceutically acceptable salt thereof.

20

5. A pharmaceutical composition comprising a 6-mercapto-cyclodextrin derivative having the general formula I

 $\begin{array}{c|c} CH_2OH & CH_2-S-R-X \\ H & OH & H & OH \\ \hline \\ H & OH & OH & OH \\ \hline \\ H & OH & OH & OH \\ \end{array}$

wherein m is 0-7 and n is 1-8 and m+n=7 or 8;

R is (C_{1-6}) alkylene, optionally substituted with 1-3 OH groups, or $(CH_2)_o$ -phenylene- $(CH_2)_p$;

o and p are independently 0-4;

X is COOH, CONHR₁, NHCOR₂, SO₂OH, PO(OH)₂, O(CH₂—CH₂—O)_a—H, OH or tetrazol-5-yl;

 R_1 is H or (C_{1-3}) alkyl;

R₂ is carboxyphenyl;

q is 1-3;

or a pharmaceutically acceptable salt thereof, with the exclusion of

6-per-deoxy-6-per-(2-hydroxyethylthio)-β-cyclodextrin;6-mono-deoxy-6-mono-(2-hydroxyethylthio)-β-cyclodextrin;

6-per-deoxy-6-per-(2-hydroxyethylthio)- γ -cyclodextrin; 6-per-deoxy-6-per-(carboxymethylthio)- β -cyclodextrin;

6-mono-deoxy-6-mono-(carboxymethylthio)-β-cyclo-dextrin;

6A,6B-dideoxy-6A,6B-bis((o-carboxyphenyl)thio)- β -cy-clodextrin;

6A,6B-dideoxy-6A,6B-bis(carboxymethylthiol)-β-cyclodextrin and 6-per-deoxy-6-per-(2,3-dihydroxypropylthio)-β-cyclodextrin, in admixture with pharmaceutically acceptable auxilliaries.

6. A kit for providing neuromuscular block and its reversal comprising (a) a neuromuscular blocking agent, and (b) a 6-mercapto-cyclodextrin derivative according to the general formula I

wherein m is 0-7 and n is 1-8 and m+n=7 or 8;

R is (C_{1-6}) alkylene, optionally substituted with 1-3 OH groups, or $(CH_2)_o$ -phenylene- $(CH_2)_o$;

o and p are independently 0-4;

X is COOH, CONHR₁, NHCOR₂, SO₂OH, PO(OH)₂, O(CH₂—CH₂—O)_q—H, OH or tetrazol-5-yl;

 R_1 is H or (C_{1-3}) alkyl;

R₂ is carboxyphenyl;

q is 1-3;

or a pharmaceutically acceptable salt thereof.

7. The kit according to claim 6, wherein the neuromuscular blocking agent is selected from the group consisting of rocuronium, vecuronium, pancuronium, rapacuronium, mivacurium, (cis)atracurium, tubocurarine and suxamethonium.

Formula I